Title: **Method for the screening of $\alpha_2\delta$-1 subunit binding ligands**

Inventor: Bertelli, Francois

Application No.: 10/090,827

Docket No.: A0000179-C1 (PC18043A)

FIG. 1

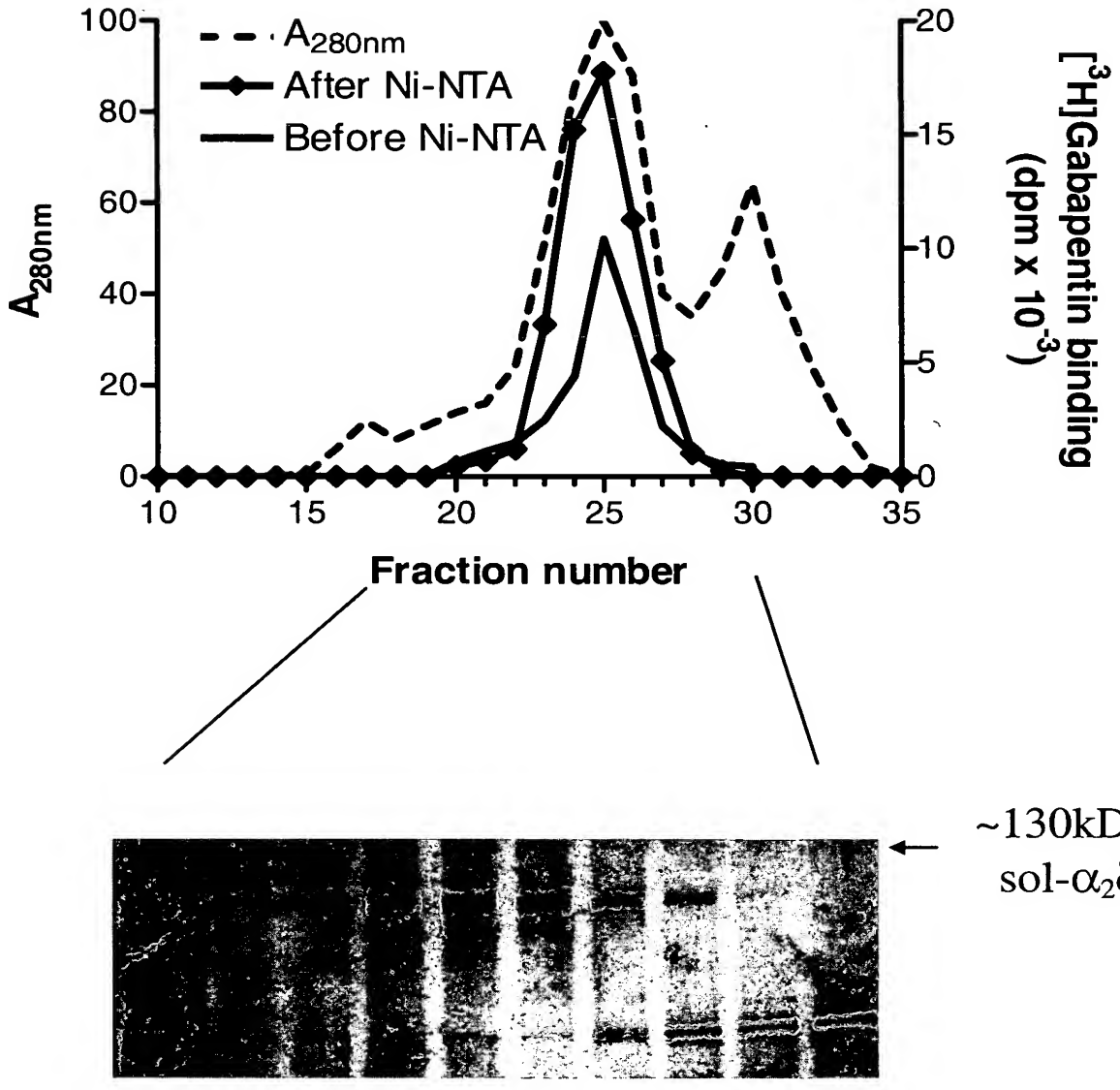

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FIG. 2

SPA assay of [^{3}H]gabapentin (18.4nM) binding to s-$\alpha_2\delta$-1b-6His (20µl). Optimisation of Imidazole concentration in the assay.

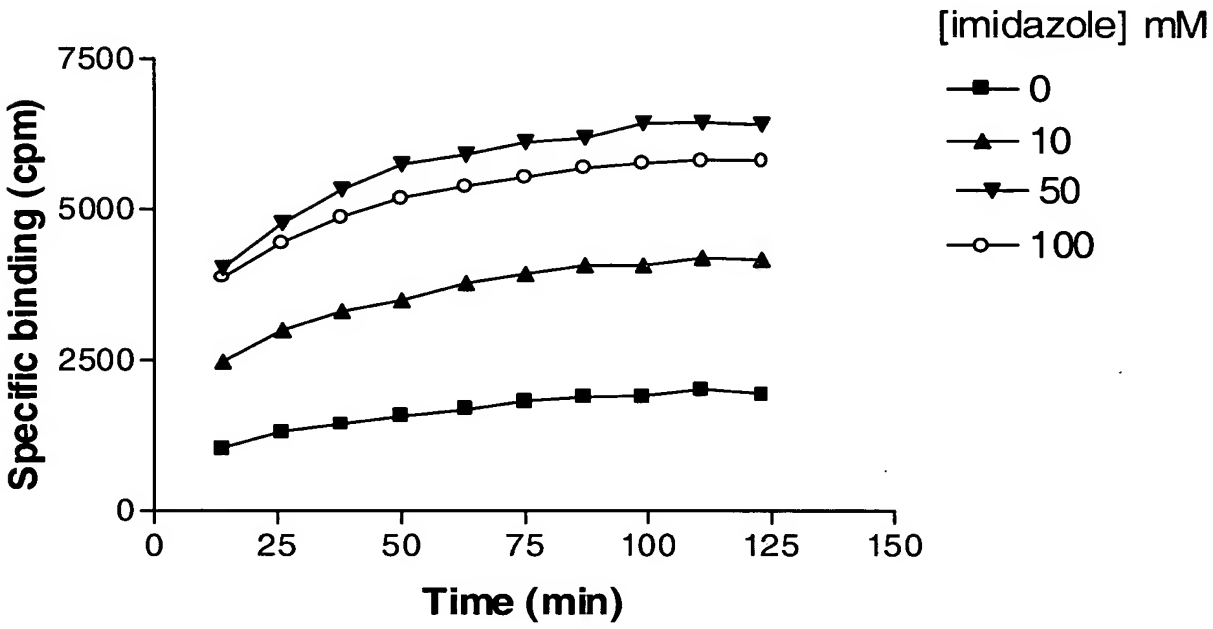

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FIG. 3

Flashplate assay of [^{3}H]gabapentin (14nM) binding to s-$\alpha_2\delta$-1b-6His (10µl). Optimisation of Imidazole concentration in the assay.

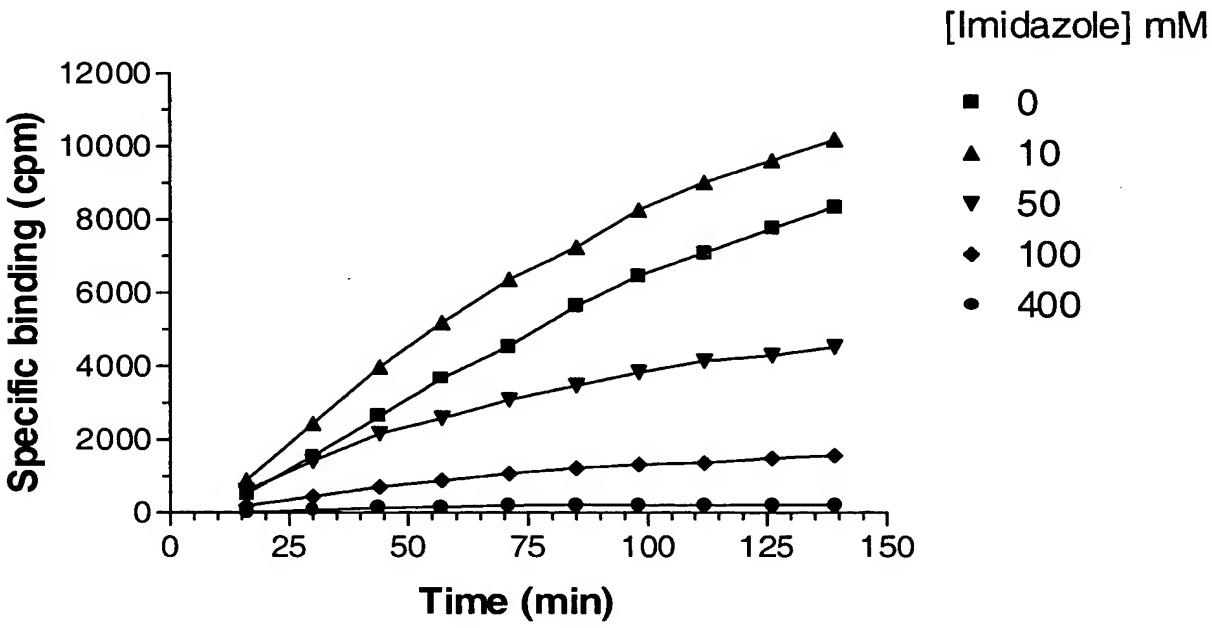

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FIG. 4

Flashplate time course of [^{3}H]gabapentin (13nM) binding to various concentrations of s-$\alpha_2\delta$-1b-6His. 10 mM imidazole in assay

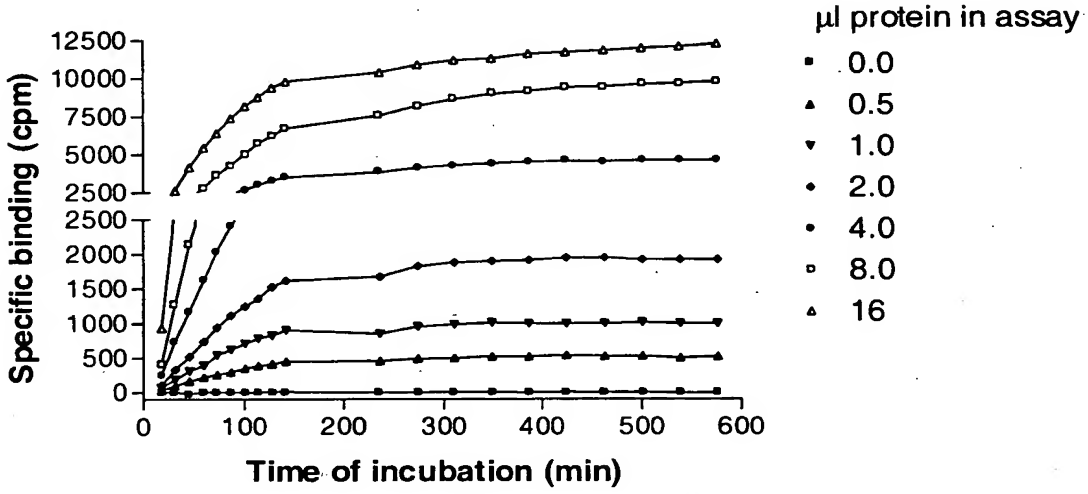

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FIG. 5

Determination of s-$\alpha_2\delta$-1b-6His capacity of flashplate assay. Counted after 3hour incubation

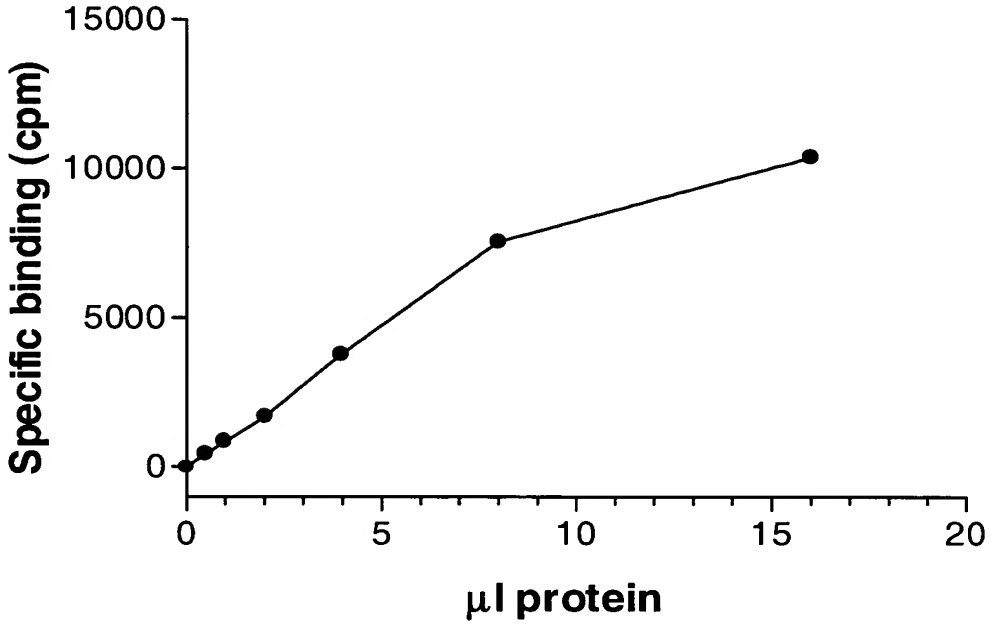

FIG. 6

Determination of the optimum imidazole concentration required to maximize the [^{3}H]gabapentin (13nM) binding window using a constant amount of purified s-$\alpha_2\delta$-1b-6His (2µl). Assayed after 3hour incubation.

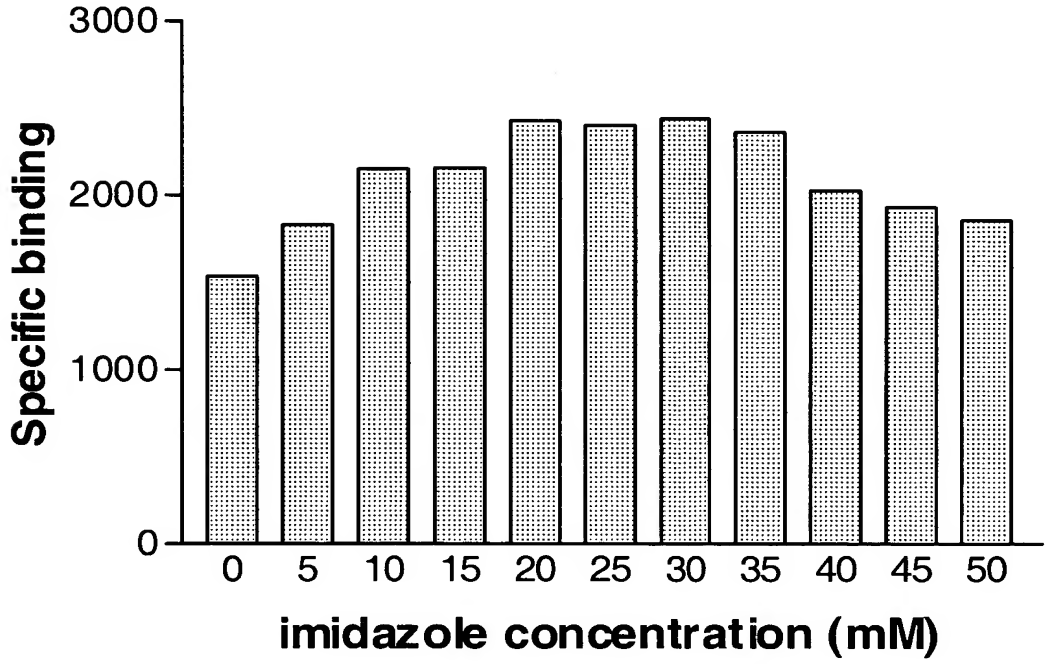

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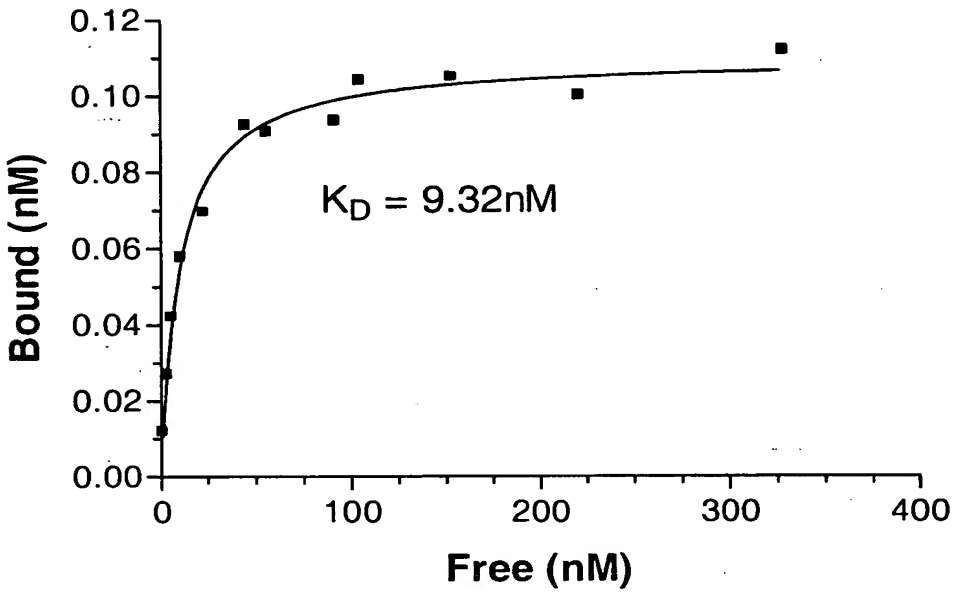
FIG. 7

Flashplate assay of [^{3}H]gabapentin saturation binding to purified s-$\alpha_2\delta$-1b-6His. Assayed after three hour incubation (see table 1 for details).



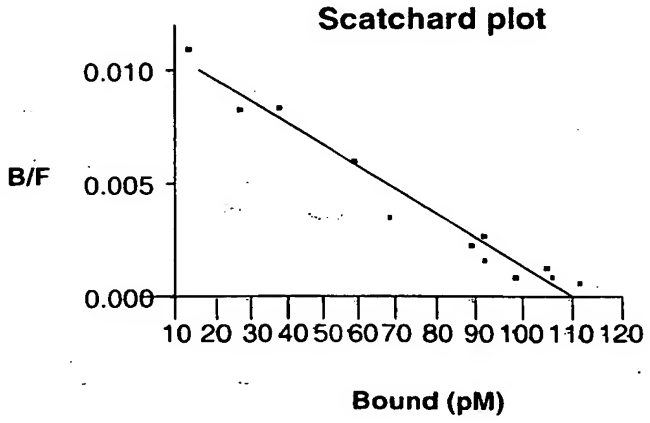


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FIG. 8

Flashplate time course optimisation of Imidazole concentration required to maximize the [^{3}H]Leucine (10.1nM) binding window to s-$\alpha_2\delta$-1b-6His. Assayed after three hour incubation.

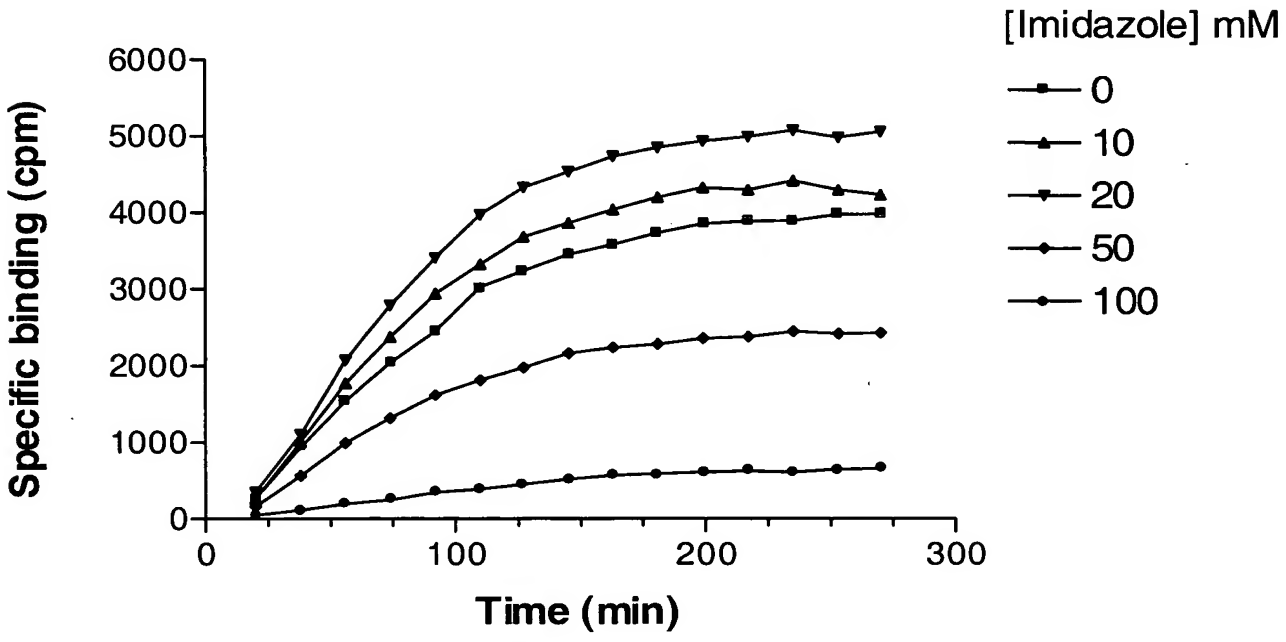

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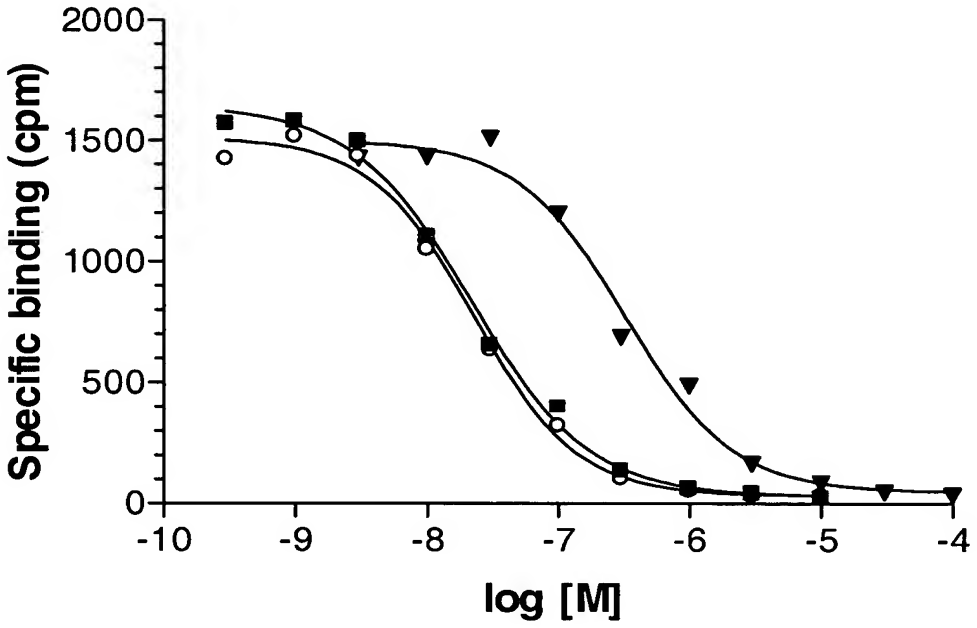
FIG. 9

Competition curves of three compounds in the flashplate assay format (see table 2 for details). Assayed after 3 hour incubation.



- ■ Gabapentin
- ○ (S+)-3-isobutyl GABA
- ▼ (R-)-3-isobutyl GABA